PCT/EP2003/000488

PATENT COOPERATION TREATY

PCT

INTERNATIONAL PRELIMINARY EXAMINATION REPORT

(PCT Article 36 and Rule 70)

Applicant's or agent's file reference 0000053209	FOR FURTHER ACTION	See Notification of Transmittal of International Preliminary Examination Report (Form PCT/IPEA/416)
International application No. PCT/EP2003/000488	International filing date (*day/month/year*) 20 January 2003 (20.01.2003)	Priority date (*day/month/year*) 24 January 2002 (24.01.2002)
International Patent Classification (IPC) or national classification and IPC C07C 1/22, 41/18, 43/205, B01J 23/755		
Applicant BASF AKTIENGESELLSCHAFT		

1. This international preliminary examination report has been prepared by this International Preliminary Examining Authority and is transmitted to the applicant according to Article 36.

2. This REPORT consists of a total of 5 sheets, including this cover sheet.

   ☒ This report is also accompanied by ANNEXES, i.e., sheets of the description, claims and/or drawings which have been amended and are the basis for this report and/or sheets containing rectifications made before this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions under the PCT).

   These annexes consist of a total of 2 sheets.

3. This report contains indications relating to the following items:

   - I ☒ Basis of the report
   - II ☐ Priority
   - III ☐ Non-establishment of opinion with regard to novelty, inventive step and industrial applicability
   - IV ☐ Lack of unity of invention
   - V ☒ Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement
   - VI ☐ Certain documents cited
   - VII ☐ Certain defects in the international application
   - VIII ☐ Certain observations on the international application

Date of submission of the demand	Date of completion of this report
06 June 2003 (06.06.2003)	08 June 2004 (08.06.2004)
Name and mailing address of the IPEA/EP Facsimile No.	Authorized officer Telephone No.

INTERNATIONAL PRELIMINARY EXAMINATION REPORT

International application No.
PCT/EP2003/000488

I. Basis of the report

1. With regard to the **elements** of the international application:*

☐ the international application as originally filed

☒ the description:

pages 1-10, as originally filed

pages _____, filed with the demand

pages _____, filed with the letter of _____

☒ the claims:

pages 2-10, as originally filed

pages _____, as amended (together with any statement under Article 19

pages _____, filed with the demand

pages 1, filed with the letter of 04 May 2004 (04.05.2004)

☐ the drawings:

pages _____, as originally filed

pages _____, filed with the demand

pages _____, filed with the letter of _____

☐ the sequence listing part of the description:

pages _____, as originally filed

pages _____, filed with the demand

pages _____, filed with the letter of _____

2. With regard to the **language**, all the elements marked above were available or furnished to this Authority in the language in which the international application was filed, unless otherwise indicated under this item.
These elements were available or furnished to this Authority in the following language _____ which is:

☐ the language of a translation furnished for the purposes of international search (under Rule 23.1(b)).

☐ the language of publication of the international application (under Rule 48.3(b)).

☐ the language of the translation furnished for the purposes of international preliminary examination (under Rule 55.2 and/or 55.3).

3. With regard to any **nucleotide and/or amino acid sequence** disclosed in the international application, the international preliminary examination was carried out on the basis of the sequence listing:

☐ contained in the international application in written form.

☐ filed together with the international application in computer readable form.

☐ furnished subsequently to this Authority in written form.

☐ furnished subsequently to this Authority in computer readable form.

☐ The statement that the subsequently furnished written sequence listing does not go beyond the disclosure in the international application as filed has been furnished.

☐ The statement that the information recorded in computer readable form is identical to the written sequence listing has been furnished.

4. ☐ The amendments have resulted in the cancellation of:

☐ the description, pages _____

☐ the claims, Nos. _____

☐ the drawings, sheets/fig _____

5. ☐ This report has been established as if (some of) the amendments had not been made, since they have been considered to go beyond the disclosure as filed, as indicated in the Supplemental Box (Rule 70.2(c)).**

* *Replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report since they do not contain amendments (Rule 70.16 and 70.17).*

** *Any replacement sheet containing such amendments must be referred to under item 1 and annexed to this report.*

V. Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

1. Statement

Novelty (N)	Claims	1-10	YES
	Claims		NO
Inventive step (IS)	Claims		YES
	Claims	1-10	NO
Industrial applicability (IA)	Claims	1-10	YES
	Claims		NO

2. Citations and explanations

Reference is made to the following documents:

D1: XP-2235170 (Beilstein online Reaction ID 601785)
D2: XP-2235169

D3 is not an international search report citation but is cited in D1. A copy of D3 is enclosed:

D3: Papa, Schwenk & Whitman, J. Org. Chem., 7, 1942, pp. 587-589
D4: Merz und Rauschel, Synthesis, Vol. 8, 1993, pp. 797-802 (cited in the application)

1. Clarity (PCT Article 6)

Contrary to the requirements of PCT Article 6, independent claim 1 is not supported by the description since its scope goes beyond that justified by the description. The reasons for this are the following: As concerns the compounds in which X stands for $CH[OC_1-C_6\text{-alkyl}]_2$, CH_2OH or $CH_2OC_1-C_6$ alkyl, the application does not contain adequate information substantiating that the problem (page 2, lines 23 to 31) is actually solved. The description contains only examples relating to the hydrogenation of 3,4,5-trimethoxybenzaldehyde.

2. Novelty (PCT Article 33(2)

D1 ("Reaction Details 22 of 23") and D3 (cited in D1) disclose the production of methylbenzene by reacting benzaldehyde using an Ni-Al alloy (Raney). The solvent is 10 % NaOH in water (D4, page 588, "Experimental"). D1 also discloses ("Reaction Details 14 of 23") the production of methylbenzene by hydrogenating benzaldehyde using copper. D4 discloses (page 799) the hydrogenation of 3,4,5-trimethoxybenzaldehyde to form 3,4,5-trimethoxytoluene in the presence of 10 % Pd on activated carbon. Cobalt, nickel or copper catalysts are not mentioned in D4. Therefore the subject matter of claims 1 to 10 meets the requirements of PCT Article 33(2).

3. Inventive step (PCT Article 33(3))

The application is considered to address the following problem, on which the invention is based (see page 2, lines 22 to 31, of the description): devising an improved method for producing substituted toluene compounds.

D4 can be regarded as the closest prior art. The difference between D4 and the present application is the catalyst compositions given in the examples. The catalysts in the present application produce a somewhat lower yield than those in D4 (page 799 "5-methyl-1,2,3-benzenetriol"; 97 % yield). However, the use of the catalysts of the present application avoids having to use corrosive solvents and expensive catalysts containing precious metal and chrome.

Nevertheless, contrary to the requirements of PCT Article 6, independent claim 1 is not supported by the description since its scope goes beyond that justified by the description. The reasons for this are the following: As

concerns the compounds in which X stands for $CH[OC_1-C_6$-alkyl$]_2$, CH_2OH or $CH_2OC_1-C_6$ alkyl, and in which R^1, R^2 and R^3 stand for OH, the application does not contain adequate information substantiating that the problem (page 2, lines 23 to 31) with respect to these compounds is actually solved.

Therefore claims 1 to 10 cannot be considered inventive **(Important: see point 4 below)**.

4. Miscellaneous

In comparison with D4, and as examples 1 to 4 of the present application demonstrate, 3,4,5-trialkoxytoluenes can be produced by nickel or copper catalysis without using corrosive solvents or expensive catalysts containing precious metal and chrome, proceeding from 3,4,5-trialkoxybenzaldehydes. Therefore claims 1 to 10 can be considered inventive **(provided X = CHO and R^1, R^2, R^3 = C_1-C_6 alkoxy)**.